

Claims

1. A method for synthesizing peptides comprising:

a) treating a peptide or amino acid derivative, which has an α -amine function

5 blocked with a urethane group of the formula



wherein R^1 is aryl,

with an excess of an aliphatic secondary amine in an organic solvent to provide the release of the free α -amine function of said amino acid or peptide derivative and the formation of a tertiary amine adduct between said secondary amine and the liberated vinyl compound of the formula



b) removing the solvent and the excess of said secondary amine;

c) contacting thus formed mixture of the N_α -deprotected amino acid or peptide derivative and said tertiary amine adduct with subsequent peptide or amino acid derivative N_α -protected with the above indicated or another urethane group under conditions providing the formation of a peptide bond between the free α -amine function of the N_α -deprotected amino acid or peptide derivative and an α -carboxylic function of the subsequent N_α -protected peptide or amino acid derivative;

d) separating the newly formed peptide from the reaction mixture;

e) repeating procedures as set forth above until the desired polypeptide is obtained.

2. The method of claim 1 wherein R^1 is selected from the group consisting of 4-nitrophenyl, 4-phenylsulfonylphenyl, 4-methylsulfonylphenyl, 4-dimethylamidossulfonylphenyl, 4-diethylamidossulfonylphenyl, 4-morpholidossulfonylphenyl and 4-piperididosulfonylphenyl.

3. The method of claim 1 wherein the aliphatic secondary amine is selected from the group consisting of dimethylamine, diethylamine, di-n-propylamine, piperidine, pyrrolidine and morpholine.

4. The method of claim 1 wherein the organic solvent is a volatile aprotic solvent.

5 5. The method of claim 4 wherein the volatile aprotic solvent is selected from the group consisting of dichloromethane, acetonitrile, tetrahydrofuran, dioxane, N,N-dimethylformamide, N,N-dimethylacetamide, N-methylpyrrolidone and dimethylsulfoxide.

6. The method according to claims 3 or 4 wherein removing the solvent and the excess of the aliphatic secondary amine is performed by evaporation.

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7. The method of claim 1 wherein said contacting step is performed in the presence of a coupling reagent.

15 8. The method of claim 7 wherein said coupling reagent is selected from the group consisting of dicyclohexylcarbodiimide, diisopropylcarbodiimide, benzotriazolyl-1-oxy(tris-dimethylamino)phosphonium hexafluorophosphate (BOP) and uronium type coupling reagents.